

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTY. DOCKET NO. VPI/98-06DIV

SERIAL NO. 10/600,937

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

APPLICANTS
Michael R. Hale, et al.

CONF. NO.: 6239

FILING DATE June 20, 2003 GROUP (C

	·		PATENT DOCUMEN	ITS		
EXAMINER INITIAL	DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING DATE IF APPROPRIATE
	3,743,722	7/3/73	Mohrs et al.	424	98	
	4,330,542	5/18/82	Descamps et al.	424	248.5	
	4,629,724	12/16/86	Ryono et al.	514	18	
	5,196,438	3/23/93	Martin et al.	514	311	
	5,354,866	10/11/94	Kempf et al.	546	265	
	5,622,949	4/22/97	Talley et al.	514	237.8	
	5,723,490	3/3/98	Tung	514	478	
	5,744,481	4/28/98	Vazquez et al.	514	311	
4	5,843,946	12/1/98	Vazquez et al.	514	252.11	
. <u> </u>						
·						
				· · · · · · · · · · · · · · · · · · ·		

FOREIGN PATENT DOCU	MENTS
---------------------	-------

EXAMINER	DOCUMENT	5475	00111701	01.400		TRANSLATION	
INITIAL	NUMBER	DATE	COUNTRY	CLASS	SUBCLASS	YES	NO ,
an	0 022 118	1/7/81	EP				
	0 181 071	5/14/86	EP				
	0 264 795	4/27/88	EP				
	0 346 847	12/20/89	EP	ì			
	0 364 804	4/25/90	EP				
	0 434 365	6/26/91	EP			•	
	0 468 641	1/29/92	EP				
	0 486 948	5/27/92	EP				
	0 541 168	5/12/93	EP		·		
	0 594 540	4/27/94	EP				
4	3542567	6/5/86	DE				

EXAMINER

om Melhi

DATE CONSIDERED 3

EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-1449 U.S. DEPARTMENT OF COMMER PATENT AND TRADEMARK OFF			ATTY. DOCKET NO. VPI/98-06DIV				SERIAL NO. 10/600,937		
INFORMATION DISCLOSURE STATEMENT BY APPLICANT				APPLICANTS CONF. NO.: 6239 Michael R. Hale, et al.					
STATEMENT BY APPLICANT			FILING DATE June 20, 2003			GROUP 1615			
	2,167,759	6/4/86	GB	丁	·	T	+		
	2,200,115	7/27/88	GB		· · · · · ·				
	WO90/07329	7/12/90	PCT		1				
	WO91/00725	1/24/91	PCT		1				
	WO91/18866	12/12/91	PCT						
	WO92/08688	5/29/92	PCT						
	WO92/08698	5/29/92	PCT						
	WO92/08699	5/29/92	PCT		7.				
	WO92/08700	5/29/92	PCT						
	WO92/08701	5/29/92	PCT		T				
	WO92/17176	10/15/92	PCT						
,	WO93/23368	11/25/93	PCT		1				
	WO93/23388	11/25/93	PCT			Ī			
	WO93/23379	11/25/93	PCT						
	WO94/04491	3/3/94	PCT						
	WO94/04492	3/3/94	PCT						
	WO94/04493	3/3/94	PCT			1.			
	WO94/05639	3/17/94	PCT			1			
	WO94/10134	5/11/94	PCT						
	WO94/10136	5/11/94	PCT						ĺ –
	WO94/18192	8/18/94	PCT						
	WO94/19322	9/1/94	PCT		•				
	WO95/06030	3/2/95	PCT						
	WO95/07269_	3/16/95	PCT						
	WO95/09843	4/13/95	PCT		1	·			
· · · · · · · · · · · · · · · · · · ·	WO95/14016	5/26/95	PCT						
	WO95/32185	11/30/95	PCT						
	WO96/33184	10/24/96	PCT	·		I			
	WO96/33187	10/24/96	PCT						
	WO00/76961	12/21/00	PCT						
	59-46252	3/15/84	JP						
	59-48449	3/19/84	JP		1				

EXAMINER

DATE CONSIDERED

EXAMINER: Initial if citation considered, whether of not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-1449		ARTMENT OF CO		ATTY. DOCKET NO. VPI/98-06DIV	SERIAL NO. 10/600,937	
		DISCLOSURE	APPLICANTS CONF. NO.: 6239 Michael R. Hale, et al.			
	SIAIEMENIE	Y APPLICANT		FILING DATE June 20, 2003	GROUP 1615	
V	61-71830	4/12/86	JP			

	OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)
EXAMINER INITIAL	
Jan	Thompson et al, Ann. Reports Med. Chem., 36, pp. 247-257 (2001).
· <u></u>	Polman et al, <u>BMJ</u> , 321, pp. 490-494 (2000).
<u> </u>	Cohen et al; J. Neuroimmun., 98, pp. 29-36 (1999).
	Menendez-Arias et al., "Moloney Murine Leukemia Virus Protease: Bacterial Expression and Characterization of the Purified Enzyme," <u>Virology</u> , 1996, pp. 557-563 (1993).
	Berger et al., "Multiple-sclerosis-like Illness Occurring with Human Immunodeficiency Virus Infection," Neurology, 39, pp. 324-329 (1989).
	Facchini et al., "Human Immunodeficiency Virus-1 Infection and Multiple Sclerosis-like Illness in a Child," Pediatr. Neurol., 26, pp. 231-235 (2002).
	Banker et al., Modem Pharmaceutics, pp. 627-629 (1996).
	R. Bone et al., "X-ray Crystal Structure of the HIV Protease Complex with L-700,417, an Inhibitor with Pseudo C ₂ Symmetry", J. Am. Chem. Soc., 113, pp. 9382-84 (1991).
	J.C. Craig et al., "Antiviral Synergy Between Inhibitors of HIV Proteinase and Reverse Transcriptase", Antiviral Chem. and Chemotherapy, 4(3), pp. 161-66 (1990).
	S. Crawford et al., "A Deletion Mutation in the 5' Part of the pol Gene of Moloney Murine Leukemia Virus Blocks Proteolytic Processing of the gag and pol Polyproteins", <u>J. Virol.</u> , 53, pp. 899-907 (1985).
	M. Cushman et al., "Delvelopment of Methodology for the Synthesis of Stereochemically Pure Pheψ[CH ₂ N]Pro Linkages in HIV Protease Inhibitors", <u>J. Org. Chem.</u> , 56, pp. 4161-67 (1991).
•	D.S. Dhanoa et al., "The Synthesis of Potent Macrocyclic Renin Inhibitors", <u>Tetrahedron Lett.</u> , 33, pp. 1725-28 (1992).
	G.B. Dreyer et al., "Hydroxyethylene Isostere Inhibitors of Human Immunodeficiency Virus-1 Protease: Structure-Activity Analysis Using Enzyme Kinetics, X-ray Crystallography, and Infected T-Cell Assays", Biochemistry, 31, pp. 6646-59 (1992).
	G.A. Flynn et al., "An Acyl-Iminium Ion Cyclization Route to a Novel Conformationally Restricted Dipeptide Mimic: Applications to Angiotensin-Converting Enzyme Inhibition", <u>J. Am. Chem. Soc.</u> , 109, pp, 7914-15 (1989).
	G. Fontenot et al., "PCR Amplification of HIV-1 Proteinase Sequences Directly from Lab Isolates Allows Determination of Five Conserved Domains", <u>Virology</u> , 190, pp. 1-10 (1992).
	J. Freskos et al., "(Hydroxyethyl)sulfonamide HIV-1 Protease Inhibitors: Identification of the 2-Methylbenzoyl Moiety at P-2", Bio. & Med. Chem. Lett., 6, pp. 445-450 (1996).
	A. Ghosh et al., "Potent HIV Protease Inhibitors Incorporating High-Affinity P₂-Ligands and (R)-(Hydroxyethylamino)sulfonamide Isostere", Bio. & Med. Chem. Lett., 8, pp. 687-690 (1998).

EXAMINER ON THE

DATE CONSIDERED

EXAMINER: Initial if citation considered, whether of not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-1449

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE ATTY. DOCKET NO. VPI/98-06DIV

SERIAL NO. 10/600,937

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

APPLICANTS
Michael R. Hale, et al.

CONF. NO.: 6239

FILING DATE June 20, 2003 GROUP

OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

EXAMIN INITIAL	CTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, 2tc.)
70	E.E. Gilbert, "Recent Developments in Preparative Sulfonation and Sulfation", <u>Synthesis</u> , 1969, pp. 3-10 (1969).
7	A. Goldblum, "Modulation of the Affinity of Aspartic Proteases by the Mutated Residues in Active Site Models", <u>FEBS</u> , 261, pp. 241-44 (1990).
	D. Grobelny et al., "Selective Phosphinate Transition-State Analogue Inhibitors of the Protease of Human Immunodeficiency Virus", <u>Biochem. Biophys. Res. Commun.</u> , 169, pp. 1111-16 (1990).
	G.D. Hartman et al., "4-Substituted Thiophene- and Furan-2-sulfonamides as Topical Carbonic Anhydrase Inhibitors", <u>J. Med. Chem.</u> , 35, pp. 3822-31 (1992).
	S. J. Hays et al., "Synthesis of cis-4-(Phosphonooxy)-2-piperidinecarboxylic Acid, an N-Methyl-D-aspartate Antagonist", J. Org. Chem., 56, pp. 4984-4086 (1991).
	J.R. Huff, "HIV Protease: A Novel Chemotherapeutic Target for AIDS", <u>Journal of Medicinal Chemistry</u> , 34(8), pp. 2305-14 (1991).
	K.Y. Hui et al., "A Rational Approach in the Search for Potent Inhibitors Against HIV Proteinase", FASEB, 5, pp. 2606-10 (1991).
	Y. Kiso et al., "'O→N Intramolecular Acyl Migration'-type Prodrugs of Tripeptide Inhibitors of HIV Protease", Peptides: Chemistry, Structure and Blology, 61, pp. 157-159 (1996).
	N.E. Kohl et al., "Active HIV Protease Is Required for Viral Infectivity", Proc. Natl. Acad. Sci. USA, 85, pp. 4686-90 (1988).
	X. Lin et al., "Enzymic Activities of Two-Chain Pepsinogen, Two-Chain Pepsin, and the Amino-Terminal Lobe of Pepsinogen", J. Biol. Chem., 267(24), pp. 17257-63 (1992).
	K.P. Manfredi et al., "Examination of HIV-1 Protease Secondary Structure Specificity Using Conformationally Constrained Inhibitors", <u>J. Med. Chem.</u> , 34, pp. 3395-99 (1991).
	G.R. Marshall, "Computer-Aided Drug Design", Ann. Ref. Pharmacol. Toxicol., 27, pp. 193-213 (1987).
	J.A. Martin, "Recent Advances in the Design of HIV Proteinase Inhibitors", Antiviral Research, 17, pp. 265-78 (1992).
	T.D. Meek et al., "Inhibition of HIV-1 Protease in Infected T-Lymphocytes by Synthetic Peptide Analogues", Nature, 343, pp. 90-92 (1990).
	M. Miller et al., "Structure of Complex of Synthetic HIV-1 Protease with a Substrate-Based Inhibitor at 2.3 Å Resolution", Science, 246, pp. 1149-52 (1989).
	M. Miller et al., "Crystal Structure of a Retroviral Protease Proves Relationship to Aspartic Protease Family", Nature, 337, pp. 576-79 (1989).
+	K.H.M. Murthy et al., "The Crystal Structures at 2.2-Å Resolution of Hydroxyethylene-Based Inhibitors Bound to Human Immunodeficiency Virus Type 1 Protease Show That the Inhibitors Are Present in Two Distinct Orientations", J. Biol. Chem., 267, pp. 22770-78 (1992).

EXAMINER

DATE CONSIDERED

EXAMINER: Initial if citation considered, whether of not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

FORM PTO-1449

EXAMINER

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE ATTY. DOCKET NO. VPI/98-06DIV

SERIAL NO. 10/600,937

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

APPLICANTS
Michael R. Hale, et al.

CONF. NO.: 6239

III ING DATE

FILING DATE June 20, 2003 GROUP/6

EXAMINER: INITIAL J.B. Nichols et al., "A Molecular Mechanics Valence Force Field for Sulfonamides Derived by ab initio Methods", J. Phys. Chem., 95, pp. 9803-11 (1991). J. Palca, "Shooting at a New HIV Target", Science, 247, p. 410 (1990). L.H. Pearl et al., "A Structural Model for the Retroviral Proteases", Nature, 329, pp. 329-51 (1987). J.W. Perich et al., "The Synthesis of Multiple O-Phosphoseryl-Containing Peptides via Phenyl Phosphate Protection", <u>J. Org. Chem.</u>, 53, pp. 4103-4105 (1988). M.S. Plummer et al., "Design of Peptidomimetic Ligands for the pp60stc SH2 Domain", Bioorganic & Medicinal Chemistry, 5, pp. 41-47 (1997). M. Popvic et al., "Detection, Isolation, and Continuous Production of Cytopathic Retroviruses (HTLV-III) from Patients with AIDS and Pre-AIDS", Science, 224, pp. 497-500 (1984). M.D. Power et al., "Nucleotide Sequence of SRV-1, a Type D Simian Acquired Immune Deficiency Syndrome Retrovirus", Science, 231, pp. 1567-73 (1986). N.A. Roberts, "Rational Design of Peptide-Based HIV Proteinase Inhibitors", Science, 248, pp. 358-61 British to the street with the Street Street Street Street S. Scharpe et al., "Proteases and Their Inhibitors: Today and Tomorrow", Biochimie, 73, pp. 121-26 (1991). S.K. Sharma et al., "Could Angiotensin I Be Produced from a Renin Substrate by the HIV-1 Protease?", Anal. Biochem., 198, pp. 363-67 (1991). S: Yamaguchi et al., "Synthesis of HIV Protease Dipeptide Inhibitors and Prodrugs", Peptide Chemistry 1996, pp. 297-300 (1997).

OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609; Draw line through citation if not conformance and not considered. Include copy of this form with next communication to applicant.

DATE CONSIDERED